



Form PTO-1449  
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U.S. DEPARTMENT OF COMM  
PATENT AND TRADEMARK OF

ATTY. DOCKET NO.  
GRFN-020/01US

SERIAL NO.  
09/144,838

INFORMATION DISCLOSURE STATEMENT  
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APPLICANT:  
Michael A. Siani, et al.

FILING DATE  
August 31, 1998

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1615 1627

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U.S. PATENT DOCUMENTS

*EXAMINER INITIAL	DOCUMENT NUMBER	DATE	NAME	CLASS	SUBCLASS	FILING DATE
P1						

FOREIGN PATENT DOCUMENTS

		DOCUMENT NUMBER	DATE	COUNTRY	CLASS	SUBCLASS	TRANSLATION	
							YES	NO
TBW	F1	EP 0,156,588	02.10.85	Europe				
	F2	EP 0,503,683	16.09.92	Europe				
	F3	WO 93/20098	14.10.93	International				
	F4	WO 95/00846	05.01.95	International				
	F5	WO 95/04543	16.02.95	International				
	F6	WO 95/34641	21.12.95	International				
	F7	WO 96/34878	07.11.96	International				
	F8	WO 94/01102	20.01.94	International				
	F9	WO 98/28434	02.07.98	International				

OTHER PUBLICATIONS (including Author, Title, Date, Pertinent Pages, Etc.)

TBW	D1	Beall et al., "Site-directed mutagenesis of monocyte chemoattractant protein-1 identifies two regions of the polypeptide essential for biological activity," Biochemistry (1996) 313:633-640
	D2	Ben-Efraim et al., "Secondary structure and membrane localization of synthetic segments and a truncated form of the IsK (minK) protein," Biochemistry (1994) 33:6966-6973
	D3	Bleul et al., "The lymphocyte chemoattractant SDF-1 is a ligand for LESTR/fusion and blocks HIV-1 entry," Nature (1996) 382:829
	D4	Boshoff et al., "Angiogenic and HIV-inhibitory functions of KSHV-encoded chemokines," Science (1997) 278:290-294

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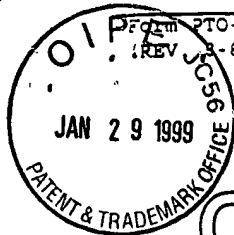
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tdw	D5	Brenner et al., "Encoded combinatorial chemistry," Proc. Natl. Acad. Sci. U.S.A. (1992) 89:5381-5383
tdw	D6	Bunin et al., "The combinatorial synthesis and chemical and biological evaluation of a 1,4-benzodiazepine library," Proc. Natl. Acad. Sci. USA (1993) 91:4708-4712
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tdw	D9	Canne et al., "A general method for the synthesis of thioester resin linkers for use in the solid phase synthesis of peptide-alpha-thioacids," Tetrahedron Lett. (1995) 36:1217-1220
Not on file	D10	Canne et al., "Solid phase protein synthesis by chemical ligation of unprotected peptide segments in aqueous solution," Poster #147, pp.1-6, The 1997 American Peptide Symposium, Nashville, Tennessee, U.S.A., June 14-19, 1997
tdw	D11	Carrasco et al., "Direct monitoring of organic reactions on polymeric supports," Tetrahedron Letters (1997) 38:6331-6334
tdw	D12	Clark-Lewis et al., "Structural requirements for interleukin-8 function identified by design of analogs and CXC chemokine hybrids," J. Biol. Chem. (1994) 269:16075-16081
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tdw	D16	Devlin et al., "Random peptide libraries: a source of specific protein binding molecules," Science (1990) 249:404-406
tdw	D17	DeWitt et al., "Diversomers: an approach to nonpeptide, nonoligomeric chemical diversity," Proc. Natl. Acad. Sci. U.S.A. (1993) 90:6909-6913

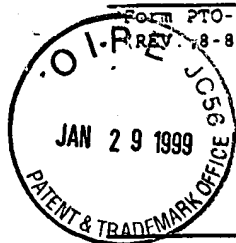
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OTHER PUBLICATIONS (including Author, Title, Date, Pertinent Pages, Etc.)

<i>tdw</i>	D18	Dos Remedios et al., "Fluorescence resonance energy transfer measurements of distances in actin and myosin," <i>J. Muscle Res. Cell Motility</i> (1987) 8:97-117
	D19	Fairclough and Cantor, "The use of singlet-singlet energy transfer to study macromolecular assemblies," <i>Meth. Enzymol.</i> (1978) 48:347-379
	D20	Furka et al., Abstr. 14th Int. Congr. Biochem., Prague, Czechoslovakia, Vol 5, pg 47. Abstr. 10th Intl. Symp. Med. Chem., Budapest, Hungary, (1988), pg 288
	D21	Gaertner et al., "Site-specific religation of G-CSF fragments through a thioester bond," <i>Bioconj. Chem.</i> (1994) 5(4):333-338
	D22	Gallop et al., "Applications of combinatorial technologies to drug discovery, 1," <i>J. Med. Chem.</i> (1994) 37:1233-1251
	D23	Gauthier et al., "A new sensitive fluorogenic substrate for papain based on the sequence of the cystatin inhibitory site," <i>Arch. Biochem. Biophys.</i> (1993) 306:304-308
	D24	Geahlen et al., "A general method for preparation of peptides biotinylated at the carboxy terminus," <i>Anal. Biochem.</i> (1992) 202:68-70
	D25	Geysen et al., "Use of peptide synthesis to probe viral antigens for epitopes to a resolution of a single amino acid," <i>Proc. Nat. Acad. Sci. U.S.A.</i> (1984), 81:3998-4002
	D26	Gordon et al., "Applications of combinatorial technologies to drug discovery, 2," <i>J. Med. Chem.</i> (1994) 37:1385-1401
	D27	Houghten et al., "General method for the rapid solid-phase synthesis of large number of peptides," <i>Proc. Natl. Acad. Sci. U.S.A.</i> (1985) 82:5131-35
	D28	Houghten et al., "Generation and use of synthetic peptide combinatorial libraries for basic research and drug discovery," <i>Nature</i> (1991) 354:84-86
	D29	Hromas et al., "Cloning and characterization of exodus, a novel beta-chemokine," <i>Blood</i> (1997) 89(9):3315-3322
	D30	Lu et al., "Probing intermolecular main chain hydrogen bonding in serine proteinase-protein inhibitor complexes," <i>Biochemistry</i> (1997) 36(4): 673-679
<i>✓</i>	D31	Maggiora et al., "A general method for the preparation of internally quenched fluorogenic protease substrates using solid-phase peptide synthesis," <i>J Med. Chem.</i> (1992) 35:3727-3730
<i>not on file</i>	D32	Moore et al., "Molecular mimicry of human cytokine an cytokine response pathway genes by KSHV," <i>Science</i> (1996) 274:1739-1744
<i>tdw</i>	D33	Moos et al., "Recent advances in the generation of molecular diversity," <i>Annual Reports in Medicinal Chemistry</i> (1993) 28:315-324
<i>tdw</i>	D34	Muir and Kent, "The chemical synthesis of proteins," <i>Curr. Opin. Biotechnology</i> (1993) 4:420-427

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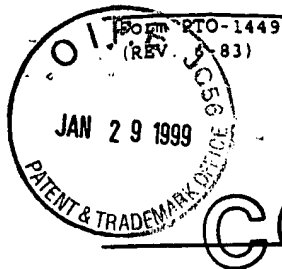
*T. Wherry*

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Michael A. Siani, et al.FILING DATE  
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## OTHER PUBLICATIONS (including Author, Title, Date, Pertinent Pages, Etc.)

for	D35	Needels et al., "Generation and screening of an oligonucleotide-encoded synthetic peptide library," <i>Proc. Natl. Acad. Sci. USA</i> (1993) 90:10700-10704
for	D36	Neote et al., "Molecular cloning, functional expression, and signaling characteristics of a C-C chemokine receptor," <i>Cell</i> (1993) 72:415-425
Not on file	D37	Nord et al., "Binding proteins selected from combinatorial libraries of an alpha-helical bacterial receptor domain," <i>Nature Biotechnology</i> (1997) 15:772-777
for	D38	Oberlin et al., "The CXC chemokine SDF-1 is the ligand for LESTR/fusion and prevents infection by T-cell-line-adapted HIV-1," <i>Nature</i> (1996) 382:833-835
	D39	Oppenheim, "Overview of chemokines," <i>Adv. Exp. Med. Biol.</i> (1993) 351:183-186
	D40	Pavia et al., "The generation of molecular diversity," <i>Bioorganic and Medicinal Chem. Lett.</i> (1993) 3:387-396
	D41	Peled et al., "Synthetic S-2 and H-5 segments of the Shaker K <sup>+</sup> channel: secondary structure, membrane interaction, and assembly within phospholipid membranes," <i>Biochemistry</i> (1994) 33:7211-7219
	D42	Proudfoot et al., "Extension of recombinant human RANTES by the retention of the initiating methionine produces a potent antagonist," <i>J.B.C.</i> (1996) 271:2599-2603
	D43	Rajaratnam et al., "Neutrophil activation by monomeric interleukin-8," <i>Science</i> (1994) 264:90-92
	D44	Schnölzer et al., "In situ neutralization in Boc-chemistry solid phase peptide synthesis," <i>Int. J. Peptide Protein Res.</i> (1992) 40:180-193
	D45	Schnölzer and Kent, "Constructing proteins by dovetailing unprotected synthetic peptides: backbone-engineered HIV protease," <i>Science</i> (1992) 256:221-225
Not on file	D46	Siani et al., "Rapid modular synthesis of chemokines and analogues," Poster, pp. 1-13, International Business Communications 3 <sup>rd</sup> Annual International Conference on Chemokines, San Francisco, CA, U.S.A., September 30-October 1 <sup>st</sup> , 1996.
	D47	Siani, et al., "Rapid modular total chemical synthesis of chemokines based on genome sequence data," Poster #360, pp. 1-6, The 15 <sup>th</sup> American Peptide Symposium, Nashville, Tennessee, U.S.A., June 14-17, 1997
	D48	Siani et al., "Rapid modular synthesis of SDF-1α with full biological activities," Poster, pp. 1-12, NMHCC, Chemokines and Host-Cell Interaction Conference, Baltimore, Maryland, U.S.A., January 1997
	D49	Simmons et al., "Potent inhibition of HIV-1 infectivity in macrophages and lymphocytes by a novel CCR5 antagonist," <i>Science</i> (1997) 276:276-279
for	D50	Smith G.P., "Filamentous fusion phage: novel expression vectors that display cloned antigens on the virion surface," <i>Science</i> (1985) 228:1315-1317

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T. Wessendy

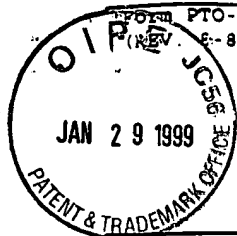
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1616 7

## OTHER PUBLICATIONS (including Author, Title, Date, Pertinent Pages, Etc.)

<i>thw</i>	D51	Sticht et al., "Structure and activity of a chimeric interleukin-8-melanoma-growth-stimulatory-activity protein," Eur. J. Biochem. (1996) 235:26-35
<i>thw</i>	D52	Strahilevitz et al., "Spectrum of antimicrobial activity and assembly of dermaseptin-b and its precursor form in phospholipid membranes," Biochemistry (1994) 33:10951-10960
<i>thw</i>	D53	Tam et al., "Peptide synthesis using unprotected peptides through orthogonal coupling methods," Proc. Natl. Acad. Sci. USA (1995) 92:12485-12489
<i>thw</i>	D54	Ueda et al., "Chemically synthesized SDF-1 alpha analogue, N33A, is a potent chemotactic agent for CXCR4/Fusion/LESTR-expressing human leukocytes," J. Biol. Chem. (1997) 272:24966-24970
<i>thw</i>	D55	Wernette-Hammond et al., "Receptor recognition and specificity of interleukin-8 is determined by residues that cluster near a surface-accessible hydrophobic pocket," J. Biol. Chem. (1996) 271:8228-8235
<i>thw</i>	D56	Wilken et al., "Solid Phase Chemical Ligation-A Paradigm for Post Genomic Pharmaceuticals," Fifth International Symposium on Solid Phase Synthesis and Combinatorial Chemical Libraries, London, England, U.K., (September 4, 1997)
<i>thw</i>	D57	Wilken and Kent, "Chemical protein synthesis," Curr. Opin. Biotech. (1998) 9:412-426
<i>thw</i>	D58	Wu et al., "Resonance energy transfer: methods and applications," Analytical Biochem. (1994) 218:1-13
<i>thw</i>	D59	Zhang et al., "Preparation of functionally active cell-permeable peptides by single-step ligation of two peptide modules," Proc. Natl. Acad. Sci. USA (1998) 95:9184-9189
<i>thw</i>	D60	Zuckermann et al., "Discovery of nanomolar ligands for 7-transmembrane G-protein-coupled receptors from a diverse N-(substituted) glycine peptoid library," J. Med. Chem. (1994), 37:2678-2685

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## U.S. PATENT DOCUMENTS

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	P1					

## FOREIGN PATENT DOCUMENTS

		DOCUMENT NUMBER	DATE	COUNTRY	CLASS	SUBCLASS	TRANSLATION	
							YES	NO
Tdx	F1	EP 0,156,588	02.10.85	Europe	/	/		
	F2	EP 0,503,683	16.09.92	Europe	/	/		
	F3	WO 93/20098	14.10.93	International	/	/		
	F4	WO 95/00846	05.01.95	International	/	/		
	F5	WO 95/04543	16.02.95	International	/	/		
	F6	WO 95/34641	21.12.95	International	/	/		
	F7	WO 96/34878	07.11.96	International	/	/		
	F8	WO 94/01102	20.01.94	International	/	/		
	F9	WO 98/28434	02.07.98	International	/	/		

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Tdx	D1	Beall et al., "Site-directed mutagenesis of monocyte chemoattractant protein-1 identifies two regions of the polypeptide essential for biological activity," <i>Biochemistry</i> (1996) 313:633-640
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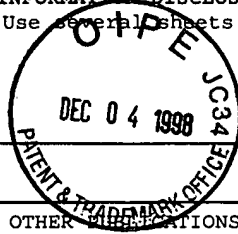
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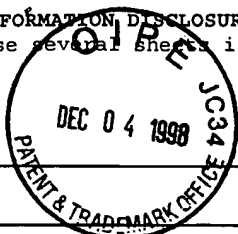
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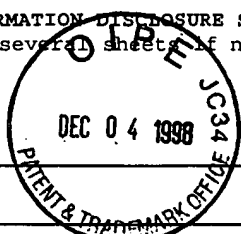
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rdw	D35	Needels et al., "Generation and screening of an oligonucleotide-encoded synthetic peptide library," <i>Proc. Natl. Acad. Sci. USA</i> (1993) 90:10700-10704
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	D44	Schnölzer et al., "In situ neutralization in Boc-chemistry solid phase peptide synthesis," <i>Int. J. Peptide Protein Res.</i> (1992) 40:180-193
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P1						

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							YES	NO
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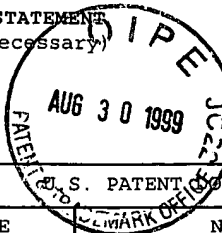
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							YES	NO
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